10629463 CuCatARYLATION PGPub -reg 138900-22-8

=> fil hcap
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'HCAPLUS' ENTERED AT 19:14:41 ON 26 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 26 Feb 2007 VOL 146 ISS 10
FILE LAST UPDATED: 25 Feb 2007 (20070225/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> e US20060149076/pn,prn,an

E1	1		US2006149074/PN
E2	1		US2006149075/PN
E3	1	-->	US2006149076/PN
E4	0		US2006149076/PRN
E5	0		US2006149076/AN
E6	1		US2006149077/PN
E7	2		US2006149078/PN
E8	1		US2006149079/PN
E9	1		US2006149080/PN
E10	1		US2006149081/PN
E11	1		US2006149082/PN
E12	1		US2006149083/PN

=> s e3
L1 1 US2006149076/PN

=> fil reg
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	2.60	2.81

FILE 'REGISTRY' ENTERED AT 19:15:13 ON 26 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file

10629463 CuCatARYLATION PGPub -reg 138900-22-8

provided by InfoChem.

STRUCTURE FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0
DICTIONARY FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> d scan
YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L1 1 ANSWERS HCAPLUS COPYRIGHT 2007 ACS on STN
IC ICM C07C
CC 27-11 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 21, 45
TI Copper-catalyzed N-arylation of nucleophiles and its application to, e.g., indoles
ST chloro fluoro phenyl indole prepn large scale; indole chloro benzene arylation copper iodide catalyst large scale
IT Arylation
Arylation catalysts
(large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)
IT 7681-65-4, Copper iodide (CuI) 7758-89-6, Copper chloride 7787-70-4, Copper (I) bromide 7789-45-9, Copper (II) bromide
RL: CAT (Catalyst use); USES (Uses)
(large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)
IT 138900-22-8P, 5-Chloro-1-(4-fluorophenyl)indole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)
IT 104-95-0, 4-Bromothioanisole
RL: RCT (Reactant); RACT (Reactant or reagent)
(large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)
IT 110-70-3, N,N'-Dimethylethylenediamine 658078-41-2 658078-42-3
RL: CAT (Catalyst use); USES (Uses)
(ligand; large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)
IT 70-55-3, p-Toluenesulfonamide 103-84-4, N-Phenylacetamide 106-43-4, 4-Chlorotoluene 123-39-7, N-Methylformamide 460-00-4, 4-Bromofluorobenzene 540-37-4, 4-Iodoaniline 578-57-4, 2-Bromoanisole

10629463 CuCatARYLATION PGPub -reg 138900-22-8

586-77-6, 4-Bromo-N,N-Dimethylaniline 609-73-4, 1-Nitro-2-iodobenzene
623-00-7, 4-Bromobenzonitrile 694-32-6 766-93-8, N-Cyclohexylformamide
1003-09-4, 2-Bromothiophene 1122-56-1, Cyclohexanecarboxamide
2142-63-4, 3-Bromoacetophenone 2835-68-9, 4-Aminobenzamide 5332-24-1,
3-Bromoquinoline 6343-54-0, N-Benzylformamide 17422-32-1,
5-Chloroindole 17424-90-7 22031-64-7, trans-Cinnamamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; large-scale copper-catalyzed arylation of NH-containing compds.
in the presence of base and water)

ALL ANSWERS HAVE BEEN SCANNED

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	6.31

FILE 'REGISTRY' ENTERED AT 19:16:02 ON 26 FEB 2007

Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0
DICTIONARY FILE UPDATES: 25 FEB 2007 HIGHEST RN 923060-60-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

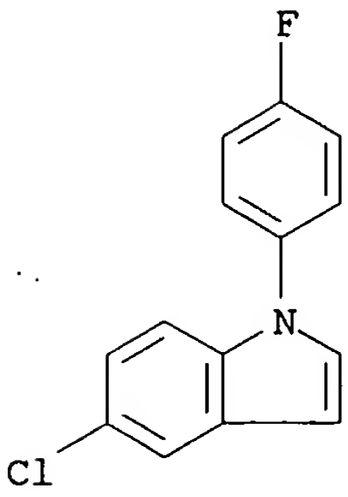
http://www.cas.org/ONLINE/UG/regprops.html

=> s 138900-22-8/rn
L2 1 138900-22-8/RN

=> d scan

L2 1 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI)
MF C14 H9 Cl F N

10629463 CuCatARYLATION PGPub -reg 138900-22-8



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> fil hcap
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	6.76

FILE 'HCAPLUS' ENTERED AT 19:16:33 ON 26 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 26 Feb 2007 VOL 146 ISS 10
FILE LAST UPDATED: 25 Feb 2007 (20070225/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2/prep

	8	L2
	4365246	PREP/RL
L3	5	L2/PREP
		(L2 (L) PREP/RL)

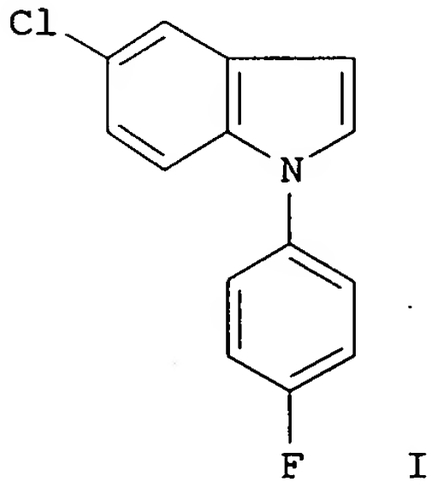
=> d ibib abs hitstr 1-5

10629463 CuCatARYLATION PGPub -reg 138900-22-8

L3 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:120809 HCAPLUS
DOCUMENT NUMBER: 140:181322
TITLE: Copper-catalyzed N-arylation of nucleophiles and its application to, e.g., indoles
INVENTOR(S): Hicks, Frederick
PATENT ASSIGNEE(S): Rhodia Pharma Solutions Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004013072	A2	20040212	WO 2003-US23673	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494142	A1	20040212	CA 2003-2494142	20030729
AU 2003256970	A1	20040223	AU 2003-256970	20030729
EP 1575882	A2	20050921	EP 2003-766954	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006508046	T	20060309	JP 2004-526201	20030729
US 2006149076	A1	20060706	US 2003-629463	20030729
CN 1863752	A	20061115	CN 2003-818529	20030729
PRIORITY APPLN. INFO.:			US 2002-400662P	P 20020802
			WO 2003-US23673	W 20030729

OTHER SOURCE(S): CASREACT 140:181322; MARPAT 140:181322
GI



I

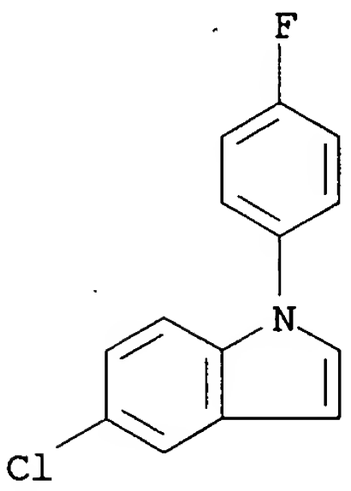
AB The invention refers to compds. ArN(R1)R2 [wherein: Ar = (hetero)aryl, alkenyl; R1 = H, alkyl, aryl; R2 = COR3, SO2R7; or NR1R2 = heterocyclyl;

R3 = H, alkyl, (hetero)aryl, alkenyl, OR5, N(R6)2; R5, R6 R7 = alkyl, aryl] prepared via arylation of nucleophiles HN(R1)R2 by aromatic compds. ArX [wherein: X = halogen, sulfonate, phosphate] in the presence of a copper catalyst (copper atom or ion and a ligand), a base (alkaline earth carbonate, bicarbonate, hydroxide or phosphate), and water. The method of this invention allows the amount of base to be reduced compared to prior methods, thus minimizing reactor agitation and capacity issues. For instance, compound I (yield of 95%) was prepared via CuI-catalyzed arylation of 5-chloroindole (30 mmol) by 4-bromofluorobenzene (60 mmol) in the presence of 1,2-di(aminomethyl)cyclohexane (3 mmol), water (5 mL), and KOH (90 mmol).

IT 138900-22-8P, 5-Chloro-1-(4-fluorophenyl)indole
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(large-scale copper-catalyzed arylation of NH-containing compds. in the presence of base and water)

RN 138900-22-8 HCAPLUS

CN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:777780 HCAPLUS
DOCUMENT NUMBER: 139:276901
TITLE: Method for manufacture of sertindole
INVENTOR(S): Zanon, Jacopo; Villa, Marco; Ciardella, Francesco
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080597	A1	20031002	WO 2003-DK208	20030326
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA	2480239	A1	20031002	CA 2003-2480239	20030326
AU	2003215528	A1	20031008	AU 2003-215528	20030326
EP	1490353	A1	20041229	EP 2003-744772	20030326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR	2003008627	A	20050215	BR 2003-8627	20030326
US	2005101788	A1	20050512	US 2003-509668	20030326
CN	1642942	A	20050720	CN 2003-807011	20030326
JP	2005531519	T	20051020	JP 2003-578351	20030326
EP	1661887	A1	20060531	EP 2005-23338	20030326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
NZ	535533	A	20070126	NZ 2003-535533	20030326
NO	2004004424	A	20041019	NO 2004-4424	20041019
PRIORITY APPLN. INFO.:				DK 2002-480	A 20020327
				US 2002-368434P	P 20020327
				EP 2003-744772	A3 20030326
				WO 2003-DK208	W 20030326

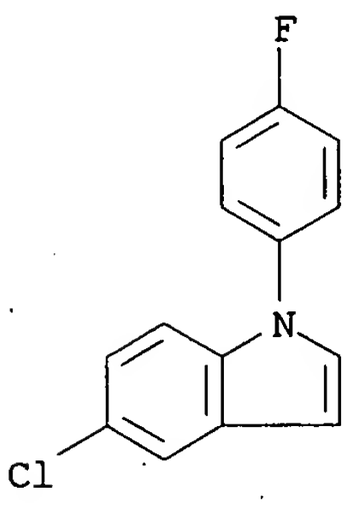
OTHER SOURCE(S): CASREACT 139:276901

AB The present invention relates to a novel method for manufacture of sertindole comprising manufacturing 5-chloro-1-(4-fluorophenyl)indole and converting it to sertindole wherein the method for manufacture of 5-chloro-1-(4-fluorophenyl)indole comprises reacting 5-chloroindole with a 4-fluorophenyl halide in the presence of a base, a chelating ligand and catalytic amts. of a Cu salt comprising Cu(I) or Cu(II) and an anion which does not interfere in an unfavorable way with the reaction.

IT 138900-22-8P, 5-Chloro-1-(4-fluorophenyl)indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(reactant for preparation of sertindole)

RN 138900-22-8 HCAPLUS

CN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:861482 HCAPLUS
DOCUMENT NUMBER: 134:32977
TITLE: Methods and compositions for the treatment of

10629463 CuCatARYLATION PGPub -reg 138900-22-8

TITLE: neuroleptic and related disorders using sertindole derivatives
INVENTOR(S): Jerussi, Thomas P.
PATENT ASSIGNEE(S): Sepracor Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000072837	A2	20001207	WO 2000-US14984	20000531
WO 2000072837	A3	20010517		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6489341	B1	20021203	US 2000-580492	20000530

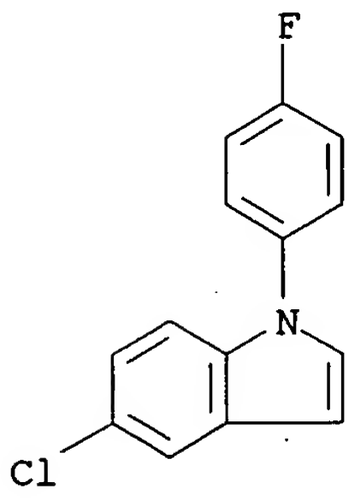
PRIORITY APPLN. INFO.: US 1999-137447P P 19990602
US 2000-580492 A 20000530

AB The invention relates to novel methods using, and pharmaceutical compns. and dosage forms comprising, sertindole derivs. Sertindole derivs. include, but are not limited to, nor-sertindole, 5-oxo-sertindole, dehydro-sertindole, and dehydro-nor-sertindole. The methods of the invention are directed to the treatment and prevention of neuroleptic and related disorders such as, but are not limited to, psychotic disorders, depression, anxiety, substance addiction, memory impairment and pain. For example, capsules were prepared containing a sertindole derivative 50.0 mg, lactose 48.5 mg, TiO2 0.5 mg, and Mg stearate 1.0 mg.

IT 138900-22-8P, 1-(4-Fluorophenyl)-5-chlorindole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and compns. of sertindole derivs. for treatment of neuroleptic and related disorders)

RN 138900-22-8 HCAPLUS

CN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:761895 HCAPLUS
DOCUMENT NUMBER: 130:25071
TITLE: Method of manufacturing sertindole
INVENTOR(S): Bech Sommer, Michael
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9851685	A1	19981119	WO 1998-DK183	19980507
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 187834	A1	20020629	IN 1998-MA948	19980501
ZA 9803726	A	19981124	ZA 1998-3726	19980504
CA 2288334	A1	19981119	CA 1998-2288334	19980507
CA 2288334	C	20050301		
CA 2486883	A1	19981119	CA 1998-2486883	19980507
AU 9872062	A	19981208	AU 1998-72062	19980507
AU 731835	B2	20010405		
TR 9902759	T2	20000121	TR 1999-2759	19980507
EP 983264	A1	20000308	EP 1998-919090	19980507
EP 983264	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9808740	A	20001003	BR 1998-8740	19980507
NZ 500700	A	20001027	NZ 1998-500700	19980507
TR 200003421	T2	20010420	TR 2000-200003421	19980507
HU 200003365	A2	20011028	HU 2000-3365	19980507
JP 2002515904	T	20020528	JP 1998-548711	19980507
EP 1260511	A1	20021127	EP 2002-18748	19980507
EP 1260511	B1	20041229		
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IL 132461	A	20030917	IL 1998-132461	19980507
AT 258929	T	20040215	AT 1998-919090	19980507
CN 1506350	A	20040623	CN 2003-10104620	19980507
PT 983264	T	20040630	PT 1998-919090	19980507
ES 2213897	T3	20040901	ES 1998-919090	19980507
AT 286047	T	20050115	AT 2002-18748	19980507
PT 1260511	T	20050531	PT 2002-18748	19980507
ES 2234961	T3	20050701	ES 2002-18748	19980507
PL 191174	B1	20060331	PL 1998-336578	19980507
SK 285221	B6	20060907	SK 1999-1527	19980507
SK 285410	B6	20070104	SK 2006-54	19980507

TW 487692	B	20020521	TW 1998-87110712		19980702
BG 64007	B1	20030930	BG 1999-103857		19991104
MX 9910191	A	20000430	MX 1999-10191		19991105
NO 9905457	A	19991108	NO 1999-5457		19991108
US 6335463	B1	20020101	US 1999-423096		19991112
IN 190902	A1	20030830	IN 2000-MA777		20000908
IN 192270	A1	20040327	IN 2000-MA776		20000918
HK 1029583	A1	20061013	HK 2001-100142		20010105
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			US 1997-46011P	P	19970509
			IN 1998-MA948	A	19980501
			CA 1998-2288334	A3	19980507
			CN 1998-804835	A	19980507
			EP 1998-919090	A3	19980507
			WO 1998-DK183	W	19980507

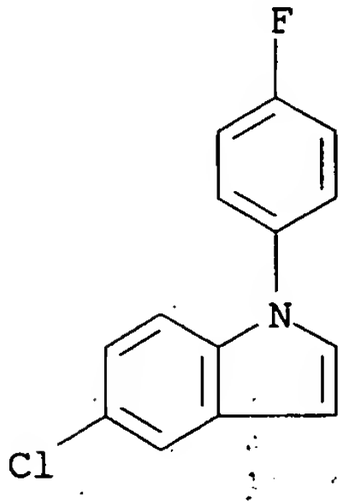
OTHER SOURCE(S): CASREACT 130:25071

AB Sertindole was prepared by reacting an alkali metal salt of 2,5-dichlorobenzoic acid with an alkali metal salt of N-(4-fluorophenyl)glycine in an aqueous, alkaline environment in the presence of a copper catalyst followed by cyclization of N-(4-fluorophenyl)-N-(2-carboxy-4-chlorophenyl)glycine to the corresponding 3-acetoxy-indole, reduction of the 3-acetoxy-indole and subsequent elimination of H2O thereby obtaining 5-chloro-1-(4-fluorophenyl)indole which is reacted with 4-piperidone in a mixture of an acetic acid and concentrate HCl, reduction of the resulting 5-chloro-1-(4-fluorophenyl)-3-(1,2,3,6-tetrahydropyridin-4-yl)indole, and reaction of this compound with 1-(2-chloroethyl)-2-imidazolidinone. Alternatively, 5-chloro-1-(4-fluorophenyl)-3-(1,2,3,6-tetrahydropyridin-4-yl)indole was first reacted with 1-(2-chloroethyl)-2-imidazolidinone followed by reduction thereby obtaining sertindole. This process uses reactants and solvents that are suitable and allowed in large scale manufacture Furthermore good total yields are obtained.

IT 138900-22-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method of manufacturing sertindole)

RN 138900-22-8 HCAPLUS

CN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:151650 HCAPLUS

10629463 CuCatARYLATION PGPub -reg 138900-22-8

DOCUMENT NUMBER: 116:151650
TITLE: Noncataleptogenic, centrally acting dopamine D-2 and serotonin 5-HT2 antagonists within a series of 3-substituted 1-(4-fluorophenyl)-1H-indoles
AUTHOR(S): Perregaard, Jens; Arnt, Joern; Boegesoe, Klaus P.; Hyttel, John; Sanchez, Connie
CORPORATE SOURCE: Res. Dep., H. Lundbeck A/S, Copenhagen, DK-2500, Den.
SOURCE: Journal of Medicinal Chemistry (1992), 35(6), 1092-101
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 116:151650
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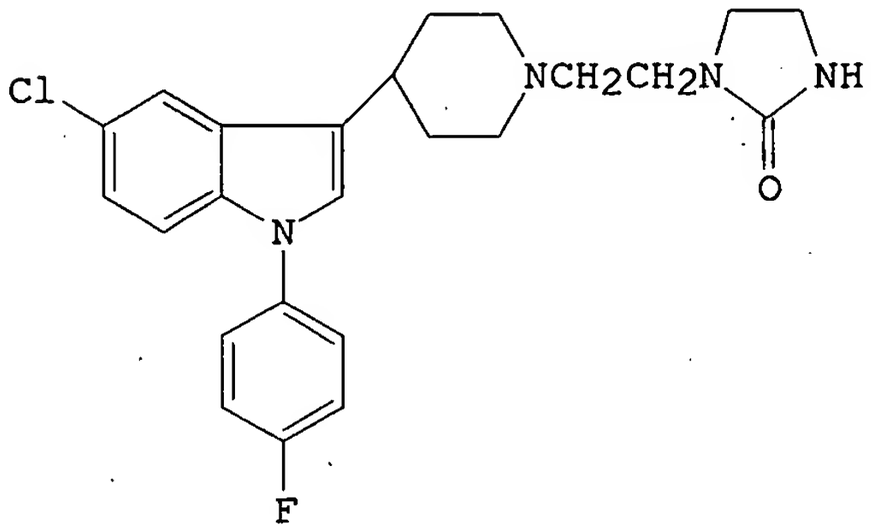
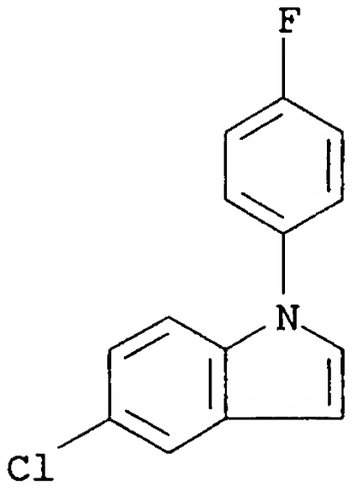


I

AB A series of 1-(4-fluorophenyl)-1H-indoles substituted at the 3-position with 1-piperazinyl 1,2,3,6-tetrahydro-4-pyridinyl and 4-piperidinyl groups was synthesized. Within all three subseries potent dopamine D-2 and serotonin 5-HT2 receptor affinity was found in ligand binding studies. Quipazine-induced head twitches in rats were inhibited by most derivs. as a measure of central 5-HT2 receptor antagonism. Piperazinyl and tetrahydropyridyl indoles were cataleptogenic, while piperidyl substituted indoles surprisingly were found to be noncataleptogenic or only weakly cataleptogenic. Noncataleptogenic piperidyl derivs. also failed to block dopaminergic-mediated stereotypes, that is Me phenidate-induced gnawing behavior in mice. These profiles resemble that of the atypical neuroleptic clozapine. 1-Ethyl-2-imidazolidinone was found to be the optimal substituent of the basic nitrogen atom in order to avoid catalepsy. The atypical neuroleptic, sertindole (I), was selected for further development as as result of these structure/activity studies.

IT 138900-22-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and addition reaction of, with piperidones)
RN 138900-22-8 HCAPLUS
CN 1H-Indole, 5-chloro-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

10629463 CuCatARYLATION PGPub -reg 138900-22-8



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L1 1 S E3

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FILE 'HCAPLUS' ENTERED AT 19:15:23 ON 26 FEB 2007

FILE 'REGISTRY' ENTERED AT 19:15:23 ON 26 FEB 2007

FILE 'REGISTRY' ENTERED AT 19:16:02 ON 26 FEB 2007
L2 1 S 138900-22-8/RN

FILE 'HCAPLUS' ENTERED AT 19:16:33 ON 26 FEB 2007
L3 5 S L2/PREP